

CLAIMS

The subject matter claimed is:

1. A method of treating a patient in need of anticoagulation therapy comprising orally administering an effective amount of a composition comprising heparin covalently bonded
5 to a hydrophobic agent selected from the group consisting of bile acids, sterols, and alkanolic acids, and mixtures thereof.

2. The method of claim 1 wherein said hydrophobic agent is a bile acid selected from the group consisting of cholic acid, deoxycholic acid, chenodeoxycholic acid, lithocholic acid, ursocholic acid, ursodeoxycholic acid, isoursodeoxycholic acid, lagodeoxycholic acid,
10 glycocholic acid, taurocholic acid, glycodeoxycholic acid, glycochenodeoxycholic acid, dehydrocholic acid, hyocholic acid, hyodeoxycholic acid, and mixtures thereof.

3. The method of claim 2 wherein said bile acid is deoxycholic acid.

4. The method of claim 1 wherein said hydrophobic agent is a sterol selected from the group consisting of cholestanol, coprostanol, cholesterol, epicholesterol, ergosterol,
15 ergocalciferol, and mixtures thereof.

5. The method of claim 1 wherein said hydrophobic agent is an alkanolic acid comprising about 4 to 20 carbon atoms.

6. The method of claim 5 wherein said alkanolic acid is a member selected from the group consisting of butyric acid, valeric acid, caproic acid, caprylic acid, capric acid, lauric acid, myristic acid, palmitic acid, stearic acid, and mixtures thereof.

7. The method of claim 1 wherein said composition further comprises a pharmaceutically acceptable carrier.

8. The method of claim 1 wherein said heparin comprises a molecular weight of at least about 3000.

9. The method of claim 8 wherein said heparin comprises a molecular weight of at least about 6000.

10. The method of claim 1 wherein said heparin comprises a molecular weight less than about 12,000.

11. A method for enhancing oral administration of a macromolecular agent comprising:

(a) conjugating said macromolecular agent to a hydrophobic agent selected from the group consisting of bile acids, sterols, alkanolic acids, and mixtures thereof to result in a hydrophobized macromolecular agent; and

(b) orally administering an effective amount of said hydrophobized macromolecular agent to a patient in need thereof.

12. The method of claim 11 wherein said hydrophobic agent is a bile acid selected from the group consisting of cholic acid, deoxycholic acid, chenodeoxycholic acid, lithocholic acid, ursocholic acid, ursodeoxycholic acid, isoursodeoxycholic acid, lagodeoxycholic acid, glycocholic acid, taurocholic acid, glycodeoxycholic acid, glycochenodeoxycholic acid, dehydrocholic acid, hyocholic acid, hyodeoxycholic acid, and mixtures thereof.

13. The method of claim 12 wherein said bile acid is deoxycholic acid.

14. The method of claim 11 wherein said hydrophobic agent is a sterol selected from the group consisting of cholestanol, coprostanol, cholesterol, epicholesterol, ergosterol, ergocalciferol, and mixtures thereof.

15. The method of claim 11 wherein said hydrophobic agent is an alkanoic acid comprising about 4 to 20 carbon atoms.

16. The method of claim 15 wherein said alkanoic acid is a member selected from the group consisting of butyric acid, valeric acid, caproic acid, caprylic acid, capric acid, lauric acid, myristic acid, palmitic acid, stearic acid, and mixtures thereof.

17. The method of claim 11 wherein said macromolecular agent is a member selected from the group consisting of heparin, heparan sulfate, sulfonyl polysaccharide, polysaccharide derivatives, and mixtures thereof.

18. The method of claim 17 wherein said macromolecular agent is heparin.

5 19. The method of claim 11 wherein said macromolecular agent is a peptide.

20. The method of claim 19 wherein said macromolecular agent is insulin.

21. The method of claim 19 wherein said macromolecular agent is calcitonin.

22. A method of treating a patient in need of anticoagulation therapy comprising orally administering an effective amount of a composition comprising a member selected from
10 the group consisting of heparin, heparan sulfate, sulfonyl polysaccharide, heparinoids, and mixtures thereof covalently bonded to a hydrophobic agent selected from the group consisting of bile acids, sterols, and alkanoic acids, and mixtures thereof.